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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,  
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SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,  
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**Declaration under Rule 4.17:**

— of inventorship (Rule 4.17(iv)) for US only

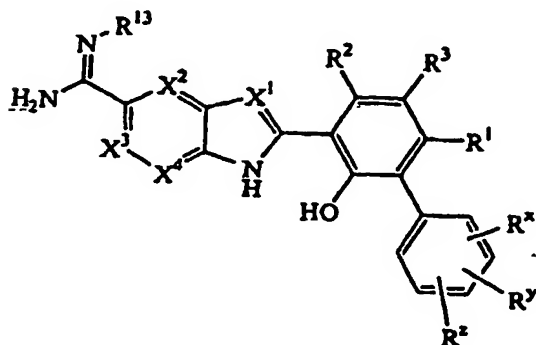
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For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: **2-(2-HYDROXYBIPHENYL-3-YL)-1H-BENZOIMIDAZOLE-5-CARBOXAMIDINE DERIVATIVES AS FACTOR  
VIIA INHIBITORS**



(I)

(57) Abstract: The present invention relates to novel compound of Formula I inhibitors of Factors VIIa, IXa, Xa, XIa, in particular Factor VIIa, pharmaceutical compositions comprising these inhibitors, and methods for using these inhibitors for treating or preventing thromboembolic disorders, cancer or rheumatoid arthritis. Processes for preparing these inhibitors are also disclosed.

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/38635

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D235/18 C07D401/12 C07D403/10 C07D407/12 C07D471/04

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 00/35886 A (SPENCER JEFFREY R ; RAI ROOPA (US); VERNER ERIK J (US); YOUNG WENDY B) 22 June 2000 (2000-06-22) examples	1-10
X	YOUNG ET AL: "Optimization of a screening lead for factor VIIa/TF" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 11, no. 17, 3 September 2001 (2001-09-03), pages 2253-2256, XP002212336 ISSN: 0960-894X example 13 ----- -/--	1-8,10

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
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- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- "&" document member of the same patent family

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## INTERNATIONAL SEARCH REPORT

International Application No  
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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	VERNER E ET AL: "Development of serine protease inhibitors displaying a multicentered shor (<2.3.ANG.)-hydrogen bond binding mode: Inhibitors of urokinase-type plasminogen activator and factor Xa" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 44, 2001, pages 2753-2771, XP002216503 ISSN: 0022-2623 examples 6m,6s; table 1	1-10
Y	WO 02/14307 A (LEAHY ELLEN M ; AXYS PHARM INC (US)) 21 February 2002 (2002-02-21) examples 1,2	1-10
Y	WO 02/14274 A (MACKMAN RICHARD L ; AXYS PHARM INC (US)) 21 February 2002 (2002-02-21) examples	1-10
P,Y	WO 03/006670 A (AXYS PHARM INC ; HU HUIYONG (US); SPERANDIO DAVID (US); KOLESNIKOV ALE) 23 January 2003 (2003-01-23) examples	1-10
P,Y	WO 03/068756 A (RAI ROOPA ; YOUNG WENDY B (US); AXYS PHARM INC (US); KOLESNIKOV ALEKSA) 21 August 2003 (2003-08-21) table 1	1-10

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US 03/38635

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 5-8  
because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 5-8 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.  
☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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